Uploading C:\Program Files\Stnexp\Queries\10571279.str

chain nodes : 8 9 10 11 12 22 23 ring nodes : 1 2 3 4 5 6 13 14 1

4--8 6--9 9--10 9--11 9--12 12--13 16--23 19--22 ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18 17-19 18-21 19-20 20-21

normalized bonds : 1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17

isolated ring systems : containing 1 : 13 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:CLASS 23:CLASS

#### L1 STRUCTURE UPLOADED

FILE 'REGISTRY' ENTERED AT 22:50:56 ON 17 MAR 2008 L1 STRUCTURE UPLOADED

L3 2 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 22:51:21 ON 17 MAR 2008

L4 20 S L3

L5 2 S US200!-571279/APPS

L6 1 S L4 AND L5

L7 19 S L4 NOT L5

FILE 'REGISTRY' ENTERED AT 22:52:00 ON 17 MAR 2008

=> d 11 L1 HAS NO ANSWERS L1 STR

Structure attributes must be viewed using STN Express query preparation.

```
ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN
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- AN 2005:260023 CAPLUS <<LOGINID::20080317>>
- DN 142:341835
- TI Preparation of crystals of N-(3-cvano-4-methyl-1H-indol-7-yl)-3-
- cvanobenzenesulfonamide Takahashi, Keiko; Hayashi, Kenji; Abe, Taichi; Omae, Takao; Kato, Takashi IN
- PA Eisai Co., Ltd., Japan
- so PCT Int. Appl., 47 pp. CODEN: PIXXD2
- DT Patent
- LA Japanese

FAN.	PA:	1 TENT NO.			KIN		DATE				ICAT				D	ATE		
PI		2005026													2	0040	901	
		W: AE																
			, co,															
			, GH,															
			, LR,															
			, NZ,															
			, TM,															
		RW: BW																
			, BY,															
			, ES,															
			, SK,		Br,	Вυ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	
	20.07	2004272	, TD,		2.1		2005	0224		211 2	004	2224	0.0		2	0040	0.01	
		2536995																
		1666463																
	EF	R: AI																
			, SI,															ПΡ
	CN	1849305			A.													1111
		2004014																
		2006PA0																
		2006001									006-							
		2006CN0								IN 2	006-	CN12	32		2	0060	407	
		2007082					2007											<
PRAI	JP	2003-31	8953		A													
	WO 2004-JP12649 W 200409																	

AB Claimed are the title crystals. The title compound is an antitumor agent (no data). When examined by X-ray powder diffractometry, the above crystals have a diffraction peak at the diffraction angle (20.+-.0.2°)19.1°. Crystals of this invention showed high

photostability. Formulations containing crystals of this invention are given. THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 8 ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 17 tot bib abs hitstr

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L7
    ANSWER 1 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
```

AN 2007:1237479 CAPLUS <<LOGINID::20080317>>

DN 147:462224

TΙ Novel marker for sensitivity against sulfonamide compound

IN Semba, Taro

PA Eisai R & D Management Co., Ltd., Japan

SO PCT Int. Appl., 82pp.

CODEN: PIXXD2

DT Patent LA

Japanese EDM ONE

FAN.	CNT	1																
	PA:	TENT :	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D	ATE	
							_											
PI	WO	2007	1232	74		A1		2007	1101		WO 2	007-	JP59	139		2	0070	420
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA
			CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB
			GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM
			KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	MG,	MK,
			MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,
			RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,
			TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW						
		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
			IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,
			ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW
			GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,
			BY.	KG.	K7.	MD.	RII.	T.T.	TM									

BY, KG, KZ, MD, RU, TJ, TM

PRAI JP 2006-117183 A 20060420

OS MARPAT 147:462224

AR The sensitivity of a tumor cell against a sulfonamide compound or the anti-tumor effect of a sulfonamide compound in a tumor cell can be examined by determining the expression level of EGFR1 in the tumor cell and employing the variation in the EGFR1 expression level as a measure. Thus, disclosed are: a method for determination of the sensitivity of a tumor cell against a sulfonamide compound; a method for determination of the anti-tumor effect of a sulfonamide compound; and a detection kit for use in these methods.

289483-69-8, E7820

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(EGFR1 as novel marker for antitumor sensitivity of sulfonamide compds.)

289483-69-8 CAPLUS RN

Benzenesulfonamide, 3-cyano-N-(3-cyano-4-methyl-1H-indol-7-yl)- (CA INDEX CM NAME)

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L7
     ANSWER 2 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
     2007:845823 CAPLUS <<LOGINID::20080317>>
AN
DN
     147:203891
TΙ
     Method for prediction of the effect of sulfonamide compound
     Ozawa, Yoichi
     Eisai R & D Management Co., Ltd., Japan
PA
so
     PCT Int. Appl., 57pp.
     CODEN: PIXXD2
DT
     Patent
LA
    Japanese
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FAN.	CNT	1																
	PAT	ENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D.	ATE	
							-									-		
PI	WO	2007	0866	05		A1		2007	0802		WO 2	007-	JP51	747		2	0070	126
		₩:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,
			KP,	KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,
			MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,
			RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,
			TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW						
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,
			IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	BJ,
			CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
			GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
	KG, KZ, MD			MD,	RU,	TJ,	TM											

PRAI JP 2006-18912 A 20060127

AB Disclosed is a method for prediction of the anti-tumor effect of a sulfonamide compound The anti-tumor effect of a sulfonamide compound can be predicted by measuring the amount of neuron-specific enolase and determining the

- anti-tumor effect based on the amount of neuron-specific enolase.
- IT 289483-69-8, E 7820 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method for prediction of the effect of sulfonamide compds. as

antitumor agents by measuring the amount of neuron-specific enolase) RN 289483-69-8 CAPLUS

CN Benzenesulfonamide, 3-cyano-N-(3-cyano-4-methyl-1H-indol-7-yl)- (CA INDEX NAME)

## RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:1217188 CAPLUS <<LOGINID::20080317>>

DN 146:134591

TI Chemistry and biology of a series of antitumor sulfonamides: exploiting transcriptomic and quantitative proteomic analyses for exploring drug gable chemical space

AU Owa, Takashi CS Discovery Res.

CS Discovery Res. Lab. II, Eisai Co., Ltd., 5-1-3 Tokodai, Tsukuba, Ibaraki, 300-2635, Japan

SO Yuki Gosei Kagaku Kyokaishi (2006), 64(11), 1171-1179

CODEN: YGKKAE; ISSN: 0037-9980

PB Yuki Gosei Kagaku Kyokai

DT Journal

LA English AB Sulfolnamide-focused compound libraries have been synthesized in our labs. for biol. evaluation using antitumor phenotypic screens such as cancer cell proliferation assay, flow cytometric cell cycle anal., and rat aorta tube formation assay. Among thousands of sulfonamide compds. evaluated, E7010 (a microtubule depolymg. agent), E7070 (a G1 phase cell cycle inhibitor), and E7820 (an antiangiogenesis agent) have progressed to clin. trials, thereby demonstrating some objective responses in cancer patients so far. The sequential discovery of these drug candidates allowed us to carry out a research approach of forward chemical genetics, in which phenotypically bioactive compds. are selected from a large collection of small mols. and then utilized for understanding the functions of their protein partners and relevant biol. pathways via target identification. This paper describes our attempt using oligonucleotide microarray and quant. proteomic analyses not only for identifying drug targets and downstream pathways applicable to biomarkers but also for exploring drug gable chemical space in medicinal chemical research.

IT 289483-69-8P, E7820

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (USes)

(Chemical and biol. of a series of antitumor sulfonamides: exploiting transcriptomic and quant. proteomic analyses for exploring drug gable chemical space)

RN 289483-69-8 CAPLUS

CN Benzenesulfonamide, 3-cyano-N-(3-cyano-4-methyl-1H-indol-7-yl)- (CA INDEX NAME)

#### RE.CNT 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN ΑN 2006:888397 CAPLUS <<LOGINID::20080317>>

DN 145:263277

ΤI Novel combinational use of sulfonamide compound

Owa, Takashi; Ozawa, Yoichi; Semba, Taro; Wakabayashi, Toshiaki IN

PA Eisai Co., Ltd., Japan

SO PCT Int. Appl., 128pp. CODEN: PIXXD2

DT Patent

LA FAN.		panes	Э															
PAN.		TENT I	.00			KIN	D	DATE								D	ATE	
PI	WO	2006																
		W:						AU,										
								DE,										
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	KR,
			ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
			MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
			SG,	SK,	SL,	SM,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
						ZM,												
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,
			IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ΒJ,
			CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
			GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
			KG,	ΚZ,	MD,	RU,	ТJ,	TM										
	ΑU	2006	2176	92		A1		2006	0831		AU 2	006-	2176	92		2	0060	228
	CA	2599	115			A1		2006	0831		CA 2	006-	2599	115		2	0060	228
	EP	1859	793			A1		2007	1128		EP 2	006-	7152	61		2	0060	228
		R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,
			IS,	IT,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,
			BA,	HR,	MK,	YU												
	KR	2007	1082	70		A		2007	1108		KR 2	007-	7223	00		2	0070	928
PRAI	JP	2005	-541	11		A		2005	0228									
	WO	2006	-JP3	0421	8	W 20060228												
OS	MAI	RPAT :	145:	2632	77													

- AB Disclosed is a pharmaceutical composition, a kit and a method for the treatment of cancer which are characterized in that a sulfonamide compound is used in combination with a substance having an EGF inhibitory activity. For example, the synergic antitumor effect of combination of E7820 and gefitinib was examined in vitro.
- 289483-69-8, E 7820 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
- (novel combinational use of sulfonamide compds. with EGF-inhibitors) 289483-69-8 CAPLUS
- CN Benzenesulfonamide, 3-cyano-N-(3-cyano-4-methyl-1H-indol-7-yl)- (CA INDEX NAME)

#### RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L7 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN AN 2006:888376 CAPLUS <<LOGINID::20080317>>
- DN 145:285081
- ΤI Surrogate marker for sulfonamide compound
- IN Owa, Takashi; Ozawa, Yoichi; Ono, Naoto
- Eisai Co., Ltd., Japan PA
- SO PCT Int. Appl., 54pp.
- CODEN: PIXXD2
- DT Patent LA
- Japanese

FAN.	CNT	6																
	PAT	ENT 1	.OV			KIN	D	DATE			APPL	ICAT	ION I	NO.		D.	ATE	
							-											
PI	WO	2006	0909	32		A1		2006	0831		WO 2	006-	JP30	4221		2	0060	228
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KM,	KN,	KP,	KR,
			KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
			MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
			SG,	SK,	SL,	SM,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
			VN,	YU,	ZA,	ZM,	zw											
		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,
			IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
			CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
			GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
			KG,	KZ,	MD,	RU,	ΤJ,	TM										

EP 1797877 A1 20070620 EP 2005-785820 20050913
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LI, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
BA, HR, MK, YU

PRAI JP 2005-54475 A 20050228 US 2004-609452P P 20040913 JP 2005-54150 A 20050228 WO 2005-JP17238 W 20050913

OS MARPAT 145:285081

A method of evaluating the effect of a sulfonamide compound on the expression of integrin which involves: (a) the step of measuring the expression amount of integrin in platelets collected from a patient to whom the above-described sulfonamide compound has been administered; and (b) the step of evaluating the effect of the above-described compound on the expression of integrin in cells other than the platelets based on the expression amount measured above.

IT 289483-69-8, E7820

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(surrogate marker for sulfonamide compds. on the expression of integrins for screening of antitumor agents and angiogenesis inhibitors)

RN 289483-69-8 CAPLUS

CN Benzenesulfonamide, 3-cyano-N-(3-cyano-4-methyl-1H-indol-7-yl)- (CA INDEX NAME)

## RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L7 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2006:884431 CAPLUS <<LOGINID::20080317>>
- DN 145:263273
- TI Novel concomitant use of sulfonamide compound with anti-cancer agent
- IN Owa, Takashi; Ozawa, Yoichi; Semba, Taro; Hata, Naoko
- PA Eisai Co., Ltd., Japan
- SO PCT Int. Appl., 96pp.
- CODEN: PIXXD2
- DT Patent LA Japanese

FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

PΤ WO 2006090931 A1 20060831 WO 2006-JP304219 20060228 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM EP 1859797 20071128 EP 2006-715262 20060228 A1 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU PRAI JP 2005-55132 20050228

Α WO 2006-JP304219 Tall 20060228

os MARPAT 145:263273

- AB The invention relates to a pharmaceutical composition and a kit characterized by comprising a sulfonamide compound and a platinum complex compound, a DNA-topoisomerase I inhibitor, a metabolic antagonist, a microtubule inhibitor or an antibiotic in combination and a method of treating cancer and/or a method of inhibiting angiogenesis. For example, the synergic antitumor effect of combination of E 7820 and paclitaxel was in vitro tested.
- IT 289483-69-8
- RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
  - (novel concomitant use of sulfonamide compound with anti-cancer agent)
- 289483-69-8 CAPLUS RN
- CN Benzenesulfonamide, 3-cyano-N-(3-cyano-4-methyl-1H-indol-7-yl)- (CA INDEX NAME)

THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- ANSWER 7 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2006:884352 CAPLUS <<LOGINID::20080317>>
- 145:263272 DN
- TI Novel use of sulfonamide compound in combination with angiogenesis

inhibitor

- IN Semba, Taro; Hata, Naoko; Ozawa, Yoichi; Owa, Takashi
- PA Eisai Co., Ltd., Japan SO PCT Int. Appl., 88pp.

CODEN: PIXXD2

DT Patent

LA Japanese

EAN ONT 6

PAN.																		
	PA.	TENT	NO.														ATE	
PI	WO	2006						2006										
		W:	ΑE,															
								DE,										
								ID,										
								LT,										
								NZ,										
								ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
				YU,														
		RW:	AT,															
								MC,										
								GN,										
								NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
				ΚZ,														
	EP	1797																
		R:	AT,															
							LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,
				HR,														
		2006		90														
		2599				A1		2006										
	EP	1862						2007									0060	
		R:	AT,															
							LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,
				HR,														
		2007				A		2007			KR 2	007-	7219	52		2	0070	921
PRAI		2005																
		2004																
		2005		75		A		2005	0228									
		2005																
		2006				W		2006	0228									
os		RPAT																
AB	Dia	sclos	ed i	s a	phar	mace	utic	al c	ompo	siti	on a	nd a	kit	, bo	th c	ompr.	isin	g the

- combination of a sulfonamide compound with bevacizumab, and a method for the treatment of cancer and/or a method for the inhibition of angiogenesis. For example, synergic antitumor effect of combination of E 7820 and bevacizumab was in vitro tested.
- IT 289483-69-8

RN

- RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
- (Biological study); USES (Uses) (novel use of sulfonamide compound in combination with angiogenesis inhibitor)
  - 289483-69-8 CAPLUS
- CN Benzenesulfonamide, 3-cyano-N-(3-cyano-4-methyl-1H-indol-7-yl)- (CA INDEX NAME)

# RE.CNT 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:317422 CAPLUS <<LOGINID::20080317>>

DN 144:388497

Development of a method to evaluate the sensitivity of tumor cells to sulfonamide containing compounds by gene expressing profiling

IN Owa, Takashi; Yokoi, Akira; Ozawa, Yoichi; Kawai, Takatoshi; Ushijima, Rie

PA Eisai Co., Ltd., Japan

SO PCT Int. Appl., 1405 pp. CODEN: PIXXD2

DT Patent

LA Japanese

FAN.		ENT :	NO.			KIN		DATE			APPL					D	ATE	
PI	WO	2006	0360:	25		A1										2	0050	930
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	ΚZ,
											MA,							
											PL,							
							ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,
				ZA,														
		RW:									EE,							
											PT,							
											ML,							
									SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
				KΖ,														
	EP	1797									EP 2							
		R:									EE,							
							LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,
				HR,														
PRAI						A		2004										
		2005						2005										
		2005						2005										
		2004						2004										
		2005						2005										
3 D		2005		7238		W		2005										

AB A method based on gene expressing profiling to evaluate the sensitivity of tumor cells to sulfonamide derivs. has been developed. Five general structures describing substitution group positions and ring structures for

the effector sulfonamide containing compds. are claimed. However, the actual gene expression profiles have been studied by applying one of seven specific sulfonamide derivs. including E7070, E7820, LY186641, LY295501, LY-83R, LY573636 and CQS to the tumor cells such as HCT116 and MOLT-4 cells. The genes encoded by 1139 nucleotide sequences have been identified to be responsive to the sulfonamide containing compds. in tumor cells (425 genes up-regulated and 714 genes down-regulated) by the gene expression profiling. These genes with the claimed sequences and their protein products can be used as the index markers for assessing the sulfonamide derivative-sensitivity of the tumor cells. The gene expression level can be determined by quantitating RNA transcript using DNA microarray, RT-PCR or hybridization assay. The translated levels of the genes can be determined by quantitating the protein products using ELISA, RIA or Western blotting.

IT 289483-69-8, E7820

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(development of method to evaluate sensitivity of tumor cells to sulfonamide containing compds. by gene expressing profiling)

RN 289483-69-8 CAPLUS

CN Benzenesulfonamide, 3-cyano-N-(3-cyano-4-methyl-1H-indol-7-yl)- (CA INDEX NAME)

### RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:1332127 CAPLUS <<LOGINID::20080317>>

DN 144:64366

TI Method for treating or preventing obesity with adipogenesis-inhibiting

agents which antagonize fibroblast growth factor signaling
IN Prins, Johannes Bernhard; Hutley, Louise Joyce; Mcgeary, Ross Peter

PA Australia

SO U.S. Pat. Appl. Publ., 145 pp., Cont.-in-part of Appl. No. PCT/AU03/00826. CODEN: USXXCO

DT Patent

LA English

LA English FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PΙ	US 2005282733	A1	20051222	US 2004-21305	20041223
	WO 2004003179	A1	20040108	WO 2003-AU826	20030627

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG PRAI US 2002-392130P Ρ 20020627 WO 2003-AU826 A2 20030627 EP 2004-900050 Α 20040107

OS MARPAT 144:64366 AB The invention di

The invention discloses methods and agents for modulating the differentiation potential and/or proliferation of preadipocytes, i.e., adipogenesis, by antagonizing fibroblast growth factor signaling. These agents may be used to prevent or treat obesity. The antiobesity agents include 6-arylpyrido[2,3-d]pyrimidines and naphthyridines, 2-arylbenzimidazoles, benzofuro[3,2-c]quinolines, pyrimidine derivs., 2,2'-dithiobis(1H-indoles), 4-anilinoquinazolines, 4-anilinoquinolines and cinnolines, 1-oxo-3-arvl-1H-indene carboxvlic acid derivs., indolinones, 8-prenylflavonones, tetrahydropyridizines and tetrahydropyridizin-3-ones, sulfonamide-containing heterocyclic compds., etc. Addnl. agents include sugars, oligosaccharides, and carbohydrates such as carrageenans, salts or complexes of sulfated saccharides, and sulfomannans, and RNA binding to FGF-2 or peptides which antagonize FGF-2 binding to its receptor. Thus, inhibition of post-fibroblast growth factor receptor signal transduction was shown to have marked effects on FGF-1-mediated human adipogenesis. Inhibition of protein kinase C, phosphatidylinositol 3-kinase, and phospholipase Cy all significantly reduced differentiation. MEK and p38 kinase inhibition during preadipocyte replication phase alone significantly reduced subsequent differentiation.

289483-69-8
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(method for treating or preventing obesity with adipogenesis-inhibiting
agents which antagonize fibroblast growth factor signaling)

RN 289483-69-8 CAPLUS
CN Benzenesulfonamide, 3-cyano-N-(3-cyano-4-methyl-1H-indol-7-yl)- (CA INDEX NAME)

- L7 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2005:638738 CAPLUS <<LOGINID::20080317>>
- DN 143:146696
- TI Differentiation-modulating agents and uses therefor
- IN Prins, Johannes Bernhard; Hutley, Louise Joyce; Mcgeary, Ross Peter
- PA The University of Queensland, Australia; The Council of the Queensland Institute of Medical Research
- SO PCT Int. Appl., 283 pp.
- CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

	PATENT :		KIN	D	DATE		- 2	APPL	ICAT	ION	NO.		D	ATE			
						-									-		
PΙ	WO 2005	0656	86		A1		2005	0721	1	WO 2	005-	AU8			2	0050	107
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,
	MR, NE, SN,			SN,	TD,	TG											

PRAI AU 2004-900050 A 20040107

- OS MARPAT 143:146696
- AB This invention discloses methods and agents for modulating the differentiation potential and/or proliferation of preadipocytes. More particularly, the present invention discloses methods and agents for modulating a fibroblast growth factor (FGF) signalling pathway, especially the FGF-1 or FGF-2 signalling pathway, for treating or preventing adiposity-related conditions including, but not limited to, obesity,
  - lipoma and lipomatosis. 289483-69-8
  - RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
    - (antiobesity differentiation-modulating agents)
- RN 289483-69-8 CAPLUS
- CN Benzenesulfonamide, 3-cyano-N-(3-cyano-4-methyl-1H-indol-7-yl)- (CA INDEX NAME)

## RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

2005:260024 CAPLUS << LOGINID::20080317>>

```
DN
     142:336244
     Method for producing sulfonamide-containing indole derivatives
IN
     Havashi, Kenji; Abe, Taichi; Ozeki, Naoki; Akamatsu, Hiroshi
PA
     Eisai Co., Ltd., Japan
SO
     PCT Int. Appl., 23 pp.
     CODEN: PIXXD2
DT
     Patent
T.A
     Japanese
FAN.CNT 1
     PATENT NO.
                                             APPLICATION NO.
                         KIND
                                 DATE
                                                                     DATE
                         ----
                                              _____
PT
     WO 2005026119
                          A1
                                 20050324
                                            WO 2004-JP12650
                                                                     20040901
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
         AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     US 2007037854
                           A1
                                 20070215
                                             US 2006-571285
                                                                     20060309
PRAI JP 2003-318974
                           Α
                                 20030910
     WO 2004-JP12650
                          W
                                 20040901
     CASREACT 142:336244; MARPAT 142:336244
os
GI
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L7

AN

AB Disclosed is a method for producing a compound I [R1 and R2 independently represent a hydrogen atom, a C1-4 alkyl group or the like; R represents a KSO2NH; A represents a cyanophenyl group or the like | which is characterized by reacting a compound I (wherein R1 and R2 independently represent a hydrogen atom, a C1-4 alkyl group or the like; R represents NH2) with a compound represented by ASO2C1 (A represents a cyanophenyl group or the like) in a mixed solvent of water and an acetic acid C1-6 alkyl ester in the presence of a base. The title compds. are useful as antitumor agents (no data). Thus, a mixture of 7-amino-3-cyano-4-methyl-1H-indole and 3-cyanobenzenesulfonyl chloride in Me acetate and water containing pyridine was stirred for 2 h 40 min to give, after workup.

N-(3-cyano-4-methyl-1H-indol-7-yl)-3-cyanobenzenesulfonamide.

289483-69-8P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation): USES (Uses)

(method for producing sulfonamide-containing indole derivs. as antitumor agents)

RN 289483-69-8 CAPLUS

CN Benzenesulfonamide, 3-cvano-N-(3-cvano-4-methyl-1H-indol-7-yl)- (CA INDEX

#### RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

2004:145031 CAPLUS <<LOGINID::20080317>> AΝ

DN 141:235811

- ΤI An angiogenesis inhibitor E7820 shows broad-spectrum tumor growth inhibition in a Xenograft model: possible value of integrin  $\alpha 2$  on platelets as a biological marker
- ΑU Semba, Taro; Funahashi, Yasuhiro; Ono, Naoto; Yamamoto, Yuji; Sugi, Naoko Hata; Asada, Makoto; Yoshimatsu, Kentaro; Wakabayashi, Toshiaki CS Tsukuba Research Laboratories, Eisai Co., Ltd., 5-1-3 Tokodai, Tsukuba,
- Ibaraki, Japan SO Clinical Cancer Research (2004), 10(4), 1430-1438
- CODEN: CCREF4: ISSN: 1078-0432
- PB American Association for Cancer Research DТ
- Journal LA
- English AB We reported previously that an angiogenesis inhibitor, E7820, inhibits in vitro tube formation of human umbilical vein endothelial cell through the suppression of integrin a2 expression. Here we describe the antiangiogenic and antitumor effects of E7820 in mice and discuss the feasibility of using platelet integrin α2 expression on platelets as a biol. marker of the efficacy of E7820. Oral administration of E7820 significantly inhibited basic fibroblast growth factor-induced angiogenesis in Matrigel implants and human colon WiDr tumor-induced angiogenesis in a dorsal air sac model. Twice-daily treatment with E7820 clearly inhibited the s.c. tumor growth of seven tumor cell lines derived from human colon, breast, pancreas, and kidney, and completely suppressed the growth of human pancreatic KP-1 and human colon LoVo cell lines. Moreover, E7820 significantly inhibited the growth of KP-1 and human colon

tumor Colo320DM cells orthotopically implanted in the pancreas and cecum, resp. The efficacy of E7820 was comparable in the s.c. and orthotopic transplantation models. Immunohistochem. analyses using anti-CD31 antibody showed that E7820 significantly reduced microvessel d. in orthotopically implanted KP-1 tumor. E7820 reduced integrin  $\alpha 2$ expression on a megakaryocytic cell line, Dami cells, induced by phorbol 12-myristate 13-acetate treatment. It also decreased the expression level of integrin α2 on platelets withdrawn from mice bearing s.c. KP-1 tumor at a dosage close to that affording antitumor activity. These data demonstrate that E7820 showed a broad-spectrum antitumor effect in mice through inhibition of angiogenesis and indicate that the decrease of integrin  $\alpha 2$  on platelets might serve as a biol. marker for the antitumor efficacy of E7820.

ΤТ 289483-69-8, E7820

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(angiogenesis inhibitor E7820 showed broad-spectrum antitumor effect tough angiogenesis inhibition and indicate decrease of integrin-2 on platelet might serve as biol. marker for antitumor efficacy of E7820 in mouse xenograft)

289483-69-8 CAPLUS RN

CN Benzenesulfonamide, 3-cvano-N-(3-cvano-4-methyl-1H-indol-7-yl)- (CA INDEX NAME)

#### RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

1.7 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

2003:719299 CAPLUS <<LOGINID::20080317>> AN

DN 139:240339

Antitumor agent comprising combination of sulfonamide-containing heterocyclic compound with angiogenesis inhibitor

ΙN Wakabayashi, Toshiaki; Ono, Naoto; Semba, Taro; Haneda, Toru

Eisai Co., Ltd., Japan PA SO

PCT Int. Appl., 49 pp. CODEN: PIXXD2

DT Pat.ent.

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003074045	A1	20030912	WO 2003-JP2492	20030304

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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2003211594
                          A1
                                20030916
                                           AU 2003-211594
                                                                   20030304
     EP 1481678
                          A1
                                20041201
                                           EP 2003-743594
                                                                   20030304
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     US 2005119303
                          A1
                                20050602
                                           US 2004-504676
PRAI JP 2002-59471
                          Α
                                20020305
     WO 2003-JP2492
                                20030304
                          147
os
     MARPAT 139:240339
GI
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- AB It is intended to provide compns. and kits for treating tumor whereby the angiogenesis inhibitory activity and the antitumor activity of a sulfonamide-containing heterocyclic compound represented by the following formula (I) can be more effectively exerted. By combining with a VEGF inhibitor or an FGF inhibitor, the sulfonamide-containing heterocyclic compound can be effectively employed in treating cancer.
- IT 289483-69-8D, E7820, analogs RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antitumor agent comprising combination of sulfonamide-containing heterocyclic compound with angiogenesis inhibitora) 289483-69-8 CAPLUS

RN 289483-69-8 CAPLUS CN Benzenesulfonamide, 3-cyano-N-(3-cyano-4-methyl-1H-indol-7-yl)- (CA INDEX NAME)

## RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L7 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2003:221508 CAPLUS <<LOGINID::20080317>>
- DN 138:231789
- TI Heterocyclic sulfonamide/sulfonic ester derivative appetite-stimulating agents and remedies for anorexia
- IN Owa, Takashi; Ozawa, Yoichi; Hida, Takayuki; Miyamoto, Norimasa; Nagasu, Takeshi; Okauchi, Tatsuo; Yoshino, Hiroshi; Hata, Naoko; Yoshimatsu, Kentaro; Koyanagi, Nozomu; Kito, Kyosuke
- PA Eisai Co., Ltd., Japan
- SO PCT Int. Appl., 35 pp. CODEN: PIXXD2
- DT Patent
- LA Japanese
- EAN CHE 1

FAN.	CNT 1															
	PATENT	NO.				DATE									ATE	
PI	WO 2003 W:	022272 AE, A CO, C GM, H LS, L PL, P UA, U GH, G CH, C		A1 AM, CZ, ID, LV, RU, UZ, LS, DE, TR,	AT, DE, IL, MA, SD, VC, MW, DK,	2003 AU, DK, IN, MD, SE, VN, MZ, EE,	D320 AZ, DM, IS, MG, SG, YU, SD, ES,	BA, DZ, JP, MK, SI, ZA, SL, FI,	WO 2 BB, EC, KE, MN, SK, ZM, SZ, FR,	DO2- BG, EE, KG, MW, SL, ZW TZ, GB,	JP90: BR, ES, KP, MX, TJ, UG, GR,	BY, FI, KR, MZ, TM,	BZ, GB, KZ, NO, TN,	CA, GD, LC, NZ, TR,	O020 CH, GE, LK, OM, TT,	905 CN, GH, LR, PH, TZ,
	AU 2002 EP 1433 EP 1433	332298 479		A1 A1		2004	0630									
	AT 3800	IE, S		LV,	FI,	RO, 2007	MK, 1215	CY,	AL, AT 2	TR,	BG, 7678	CZ,	EE,	SK 2	0020	905
	US 2004 US 7015	241		B2		2006	0321		US 2	004-	4888	25		2	0040	305
PRAI	JP 2001 WO 2002															
OS GI	MARPAT	138:23	1789													

$$\begin{array}{c|c} \hline A & W - SO_2X - \hline & B \\ \hline & Z & C \\ \end{array}$$

AB The present invention relates to appetite-stimulating agents containing as the active ingredient sulfonamide or sulfonic ester derivs. represented by the general formula I, pharmacol. acceptable salts thereof, or hydrates of both; wherein A is an optionally substituted mono- or bi-cyclic aromatic ring; B is an optionally substituted mono- or bi-cyclic aromatic or an unsatd. six-membered heterocycle containing one nitrogen atom; C is an optionally substituted five-membered heterocycle containing one or two nitrogen atoms; W is a single bond or CH:CH; X is N(RI) or oxygen; Y is carbon or nitrogen; Z is N(R2) or nitrogen; and R1 and R2 are each independently hydrogen or lower alkyl. Thus, N-(3-Chloro-IH-indole-7-y1)-4-cyanobenzenesulfonamide showed good body weight and food intake increase in mice.

IT 289483-69-8, 3-Cyano-N-(3-cyano-4-methyl-1H-indole-7-

yl)benzensulfonamide RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(heterocyclic sulfonamide/sulfonic ester derivative appetite-stimulating agents for anorexia)

289483-69-8 CAPLUS

RN

CN Benzenesulfonamide, 3-cyano-N-(3-cyano-4-methyl-1H-indol-7-yl)- (CA INDEX NAME)

RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L7 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2003:221507 CAPLUS <<LOGINID::20080317>>
- DN 138:248504
- TI Lymphocytic activation inhibitor and remedial agent for autoimmune disease

- IN Hanada, Takahisa; Yamauchi, Toshihiko; Chiba, Kenichi; Owa, Takashi; Hida, Takayuki; Miyamoto, Norimasa
- PA Eisai Co., Ltd., Japan
- SO PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

PAN.	TMT	1																
	PA:	TENT :	NO.					DATE			APPL	ICAT	ION	NO.		D	ATE	
							-											
PI	WO	2003	0222	71		A1		2003	0320		WO 2	002-	JP90:	30		20	00209	905
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KΡ,	KR,	ΚZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
	UA, UG, U: RW: GH, GM, KI					UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW						
		RW:	GH,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	BG,	
			CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,
							BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,
				SN,														
		2002																
		5891																
	EΡ	1430	894			A1		2004	0623		EP 2	002-	7980	32		20	00209	905
		R:						ES,									MC,	PT,
	IE, SI, L																	
		1551						2004										
		2004									US 2	004-	4886	54		20	0040	304
PRAI	RAI JP 2001-269480																	
		2002				W		2002	0905									
OS	MAI	RPAT	138:	2485	04													

AB A lymphocytic activation inhibitor and a remedial agent for autoimmune diseases which each comprises as an active ingredient a sulfonamide derivative or sulfonic ester derivative represented by the general formula [1]: I wherein ring A means an optionally substituted, mono- or bicyclic aromatic ring; ring B means an optionally substituted, mono- or bicyclic aromatic hydrocarbon or an unsatd. 6-membered heterocycle containing one nitrogen atom; ring C means an optionally substituted 5-membered heterocycle containing one or two nitrogen atoms; W means a single bond or -CH=CH=-J X means -N(R1)- or oxygen; Y means carbon or nitrogen; Z means -N(R2)- or nitrogen; and R1 and R2 are the same or different and each means hydrogen or lower alkyl, a pharmacol. acceptable salt thereof, or a hydrate of any of these.

II 289483-69-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(a sulfonamide derivative or sulfonic ester derivative as lymphocytic

activation inhibitor and remedial agent for autoimmune disease)

RN 289483-69-8 CAPLUS CN

Benzenesulfonamide, 3-cyano-N-(3-cyano-4-methyl-1H-indol-7-yl)- (CA INDEX NAME)

RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN L7

AN 2002:859508 CAPLUS <<LOGINID::20080317>>

DN 138:378719

ΤI Sulfonamide derivative, E7820, is a unique angiogenesis inhibitor

suppressing an expression of integrin a2 subunit on endothelium Funahashi, Yasuhiro; Sugi, Naoko Hata; Semba, Taro; Yamamoto, Yuji; AU

Hamaoka, Shinichi; Tsukahara-Tamai, Naoko; Ozawa, Yoichi; Tsuruoka, Akihiko; Nara, Kazumasa; Takahashi, Keiko; Okabe, Tadashi; Kamata, Junichi; Owa, Takashi; Ueda, Norihiro; Haneda, Toru; Yonaga, Masahiro; Yoshimatsu, Kentaro; Wakabayashi, Toshiaki

CS Tsukuba Research Laboratories, Eisai Co., Ltd., Ibaraki, 300-2635, Japan SO

Cancer Research (2002), 62(21), 6116-6123

CODEN: CNREA8; ISSN: 0008-5472

American Association for Cancer Research PB

DT Journal LA English

AB In the process of angiogenesis, endothelial adhesion mols. play a significant role in vascular morphogenesis, in coordination with angiogenic factor signaling. Here we report that a novel angiogenesis inhibitor, E7820 (an aromatic sulfonamide derivative), inhibited in vitro proliferation and tube formation of human umbilical vascular endothelial cell (HUVEC). E7820 decreased integrin  $\alpha 2$ , 3, 5, and  $\beta 1$  in confluent culture of HUVEC, and integrin a2 was initially suppressed in mRNA level, followed by decrement of integrins  $\alpha 3$ , 5, and β1. The inhibition of integrin α2 expression in HUVEC showed dose dependence but did not alter the level of CD31. Up-regulation of integrin  $\alpha 2$  by phorbol 12-myristate 13-acetate abrogated the inhibitory effect of E7820 on tube formation within type I collagen gel. whereas addition of antibody against integrin a2 canceled the phorbol 12-myristate 13-acetate effect. These results suggest that E7820 inhibited tube formation through the suppression of integrin  $\alpha 2$ . Oral administration of E7820 remarkably resulted in inhibition of tumor-induced angiogenesis in mouse dorsal air sac model, and tumor growth of human colorectal tumor cell lines (WiDr and LoVo) was inhibited in

xenotransplanted model in mice. This is the first time that a small mol. has been shown to modulate integrins, and this finding may provide the basis for a new approach to antiangiogenic therapy through the suppression of integrin  $\alpha 2$  on endothelium.

IT 289483-69-8, E 7820

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (E7820 suppresses integrin \( \alpha \) on endothelium and has

antiangiogenic and antitumor activity)

N 289483-69-8 CAPLUS

CN Benzenesulfonamide, 3-cyano-N-(3-cyano-4-methyl-1H-indol-7-yl)- (CA INDEX NAME)

## RE.CNT 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L7 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2002:657984 CAPLUS <<LOGINID::20080317>>
- DN 137:179847
- TI Method of examining effect of angiogenesis inhibitor mediated by the inhibition of integrin expression
- IN Ono, Naoto; Senba, Taro; Hata, Naoko; Funahashi, Yasuhiro; Wakabayashi, Toshiaki
- PA Eisai Co., Ltd., Japan
- SO PCT Int. Appl., 41 pp. CODEN: PIXXD2
- DT Patent
- IA Jananass

LA FAN.		anes 1	9															
	PATENT NO.				KIND DATE			APPLICATION NO.						DATE				
PI	I WO 2002066073				A1 20020829			WO 2002-JP1562						20020221				
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
			UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW							
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,
			CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,
			BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
	CA	2438	427			A1		2002	0829		CA 2	002-	2438	427		2	0020	221

	ΑU	2002	2336	77		A1		2002	0904	AU	2	2002-2	2336	77		2	0020	221
	EP	1362	601			A1		2003	1119	EP	2	2002-	7006	79		2	0020	221
	EP	1362	601			В1		2007	0103									
		R:	AT,	BE.	CH,	DE,	DK.	ES,	FR.	GB, G	R.	IT.	LI.	LU,	NL,	SE,	MC,	PT,
										CY, A								
	CN	1620	313			A		2005	0525	CN	2	2002-	30863	37		2	0020	221
	EP	1742	052			A1		2007	0110	EP	2	006-	1345	5		2	0020	221
		R:	AT,	BE,	CH,	CY,	DE,	DK,	ES,	FI, F	R,	GB,	GR,	IE,	IT,	LI,	LU,	MC,
			NL,	PT.	SE.	TR												
	AT	3506	60			T		2007	0115	AT	2	2002-	7006	79		2	0020	221
	CN	1010	2541	9		A		2007	0829	CN	2	2007-	1008	7817		2	0020	221
	ES	2280	502			Т3		2007	0916	ES	2	2002-	7006	79		2	0020	221
	US	2004	1327	83		A1		2004	0708	US	2	2004-	1686	15		2	0040	120
	US	7122	318			B2		2006	1017									
	HK	1059	038			A1		2007	0323	HK	2	2004-	10190	04		2	0040	316
PRAI	JP	2001	-446	46		A		2001	0221									
	CN	2002	-808	637		A3		2002	0221									
	EP	2002	-700	679		А3		2002	0221									
	WO	2002	-JP1	562				2002	0221									
าร		RPAT																

AB A method of examining the effect of a drug on the expression of an integrin which comprises the step of measuring the expression dose of the integrin in platelets of a patients to whom the drug has been administered and the step of evaluating the effect of the drug on the expression of the integrin in cells other than platelets on the basis of the expression dose thus measured.

ТТ 289483-69-8

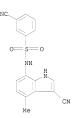
P

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method of examining effect of angiogenesis inhibitor mediated by the inhibition of integrin expression)

RN 289483-69-8 CAPLUS

CN Benzenesulfonamide, 3-cyano-N-(3-cyano-4-methyl-1H-indol-7-yl)- (CA INDEX NAME)



THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 12 ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2001:581738 CAPLUS <<LOGINID::20080317>>

DN 135:175421

Integrin expression inhibitors TΙ

- IN Wakabayashi, Toshiaki; Funahashi, Yasuhiro; Hata, Naoko; Semba, Taro; Yamamoto, Yuji; Haneda, Toru; Owa, Takashi; Tsuruoka, Akhiniko; Kamata, Junichi; Okabe, Tadashi; Takahashi, Keiko; Nara, Kazumasa; Hamaoka, Shinichi: Ueda, Norihiro
- PA Eisai Co., Ltd., Japan
- SO PCT Int. Appl., 153 pp.
- CODEN: PIXXD2 DT Patent
- LA Japanese
- FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
PI	WO 2001056607	A1	20010809	WO 2001-JP713			
				NO, NZ, RU, US			
	PT, SE, TR		, DK, ES,	FI, FR, GB, GR, IE, IT,	LU, MC, NL,		
	CA 2399001	A1	20010809	CA 2001-2399001 AU 2001-28867	20010201		
	AU 2001028867	A	20010814	AU 2001-28867	20010201		
	AU 781506	B2	20050526				
	EP 1258252	A1	20021120	EP 2001-948941	20010201		
	R: AT, BE, CH	DE, DK	, ES, FR,	GB, GR, IT, LI, LU, NL,	SE, MC, PT,		
	IE, FI, CY	TR					
	HU 2003000544	A2	20030728	HU 2003-544	20010201		
	HU 2003000544	A3	20050329	NZ 2001-520299			
	NZ 520299	A	20040528	NZ 2001-520299	20010201		
	RU 2240826 JP 4039856	C2	20041127	RU 2002-123580			
	JP 4039856	B2	20080130				
	US 2004018192	A1	20040129	US 2002-181562	20020718		
	MX 2002PA07249	A	20021209	MX 2002-PA7249 KR 2002-709945	20020725		
	KR 767000	B1	20071015	KR 2002-709945	20020801		
	NO 2002003688	A	20021003	NO 2002-3688			
	US 2005176712			US 2005-97218			
	KR 767002	B1		KR 2007-701761	20070124		
PRAI	JP 2000-26080		20000203				
	JP 2000-402084	A	20001228				
	WO 2001-JP713	W	20010201				
	US 2002-181562						
	KR 2002-709945	A3	20020801				
os	MARPAT 135:175421						

AB Integrin expression inhibitors and remedies for arteriosclerosis, psoriasis, cancer, retinal angiogenesis, diabetic retinitis or inflammatory diseases, anticoagulant agents and cancerous metastasis inhibitors based on the integrin inhibitory effect. Namely, integrin expression inhibitors containing as the active ingredient sulfonamide compds. represented by the following general formula BKSO2N(R1)ZR, pharmacol. acceptable salts thereof or hydrates of the same wherein B represents optionally substituted C6-10 arvl or 6- to 10-membered heteroarvl wherein the ring may be partly saturated; K represents a single bond, -CH=CH- or -(CR4bR5b)mb- (wherein R4b and R5b may be the same or different and each represents hydrogen or C1-4 alkyl; and mb represents an integer of 1 or 2); R1 represents hydrogen or C1-6 alkyl; Z represents a single bond or CO-NH-; and R represents optionally substituted C6-10 aryl or 6- to 10-membered heteroarvl wherein the ring may be partly saturated 289483-69-8P

11 289483-03-07 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(integrin expression inhibitors for medical uses)

RN 289483-69-8 CAPLUS

CN Benzenesulfonamide, 3-cyano-N-(3-cyano-4-methyl-1H-indol-7-yl)- (CA INDEX NAME)

#### RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- ANSWER 19 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN L7
- AN 2000:608721 CAPLUS << LOGINID::20080317>> DN 133:193071
- ΤТ Preparation of sulfonamide-containing indole derivatives as inhibitors of neovascularization and tumor
- IN Haneda, Toru; Tsuruoka, Akihiko; Kamata, Junichi; Okabe, Tadashi; Takahashi, Keiko; Nara, Kazumasa; Hamaoka, Shinichi; Ueda, Norihiro; Ohwa, Takashi; Wakabayashi, Toshiaki; Funahashi, Yasuhiro; Semba, Taro; Hata, Naoko; Yamamoto, Yuji; Ozawa, Yoichi; Tsukahara, Naoko
- PA Eisai Co., Ltd., Japan; et al. PCT Int. Appl., 44 pp. SO
- CODEN: PIXXD2
- DT Patent

		panes																	
FAN.CNT 1 PATENT NO.										APPLICATION NO.									
PI		2000	0503	95		A1			0831		WO	20	00-0	JP10	71			0000	224
			AT,					DK,								IT,	LU,	MC,	NL,
	JP	2000				A		2000	0912		JP	19	99-	4987	0		1	9990	226
		2327						2000			CA	20	00-2	2327	253		2	0000	224
		2327																	
		1074									ΕP	20	00-9	9053	21		2	0000	224
	EP	1074																	
		R:		BE,		DE,	DK,	ES,	FR,	GB,	GF	₹, ∶	IT,	LI,	LU,	NL,	SE,	MC,	PT,
	HU	2001				A2		2001	0928		HU	20	01-	1434			2	0000	224
	HU	2001	0014	34		A3		2001	1029										
	RU	2208	607			C2		2003	0720		RU	20	00-	1295	08		2	0000	224
	AU	7669	36			B2		2003	1023		AU	20	00-2	2691	6		2	0000	224
		5074						2003	1031									0000	
		1132						2003										0000	
		3250						2006										0000	
		1074						2006										0000	
		2259						2006											
	JP	3866	041			B2		2007	0110		JΡ	20	00 - 6	6009	78		2	0000:	224

	US 6469043	B1	20021022	US	2000-647215	20000928
	MX 2000PA10243	A	20010410	MX	2000-PA10243	20001019
	NO 2000005357	A	20001222	NO	2000-5357	20001024
	NO 317299	B1	20041004			
	US 2002128480	A1	20020912	US	2002-98420	20020318
	US 6673787	B2	20040106			
	US 2002128483	A1	20020912	US	2002-98421	20020318
	US 6638964	B2	20031028			
	JP 2006312652	A	20061116	JP	2006-226414	20060823
PRAI	JP 1999-49870	A	19990226			
	JP 2000-600978	A3	20000224			
	WO 2000-JP1071	W	20000224			
	US 2000-647215	A3	20000928			
OS	MARPAT 133:193071					
GI						

AB The title compds. I [R1 represents hydrogen, etc.; R2 and R3 are the same or different and each represents hydrogen, etc.; R4 represents hydrogen or lower (C1-4) alkyl; and the ring A represents cyanophenyl, etc., provided that the following cases are excluded: the one where R1, R2 and R3 are all hydrogen atoms; the one where R2 and R3 are both hydrogen atoms; and the one where the ring A is an aminosulfonylphenyl group and R1 and R2 are both halogen atoms; and provided that when the ring A is a cyanophenyl, 2-amino-5-pyridyl or 2-halogeno-5-pyridyl group and R1 is a cyano group or a halogen atom, then at least one of R2 and R3 is not hydrogen] are prepared The title compound II in vitro showed IC50 of 10 µg/mL against mouse B16 melanoma cells.

ΙI

IT 289483-69-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamide-containing indole derivs. as inhibitors of neovascularization and tumor)

RN 289483-69-8 CAPLUS

CN Benzenesulfonamide, 3-cyano-N-(3-cyano-4-methyl-1H-indol-7-yl)- (CA INDEX

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT